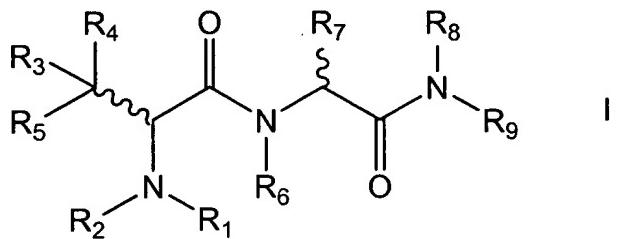


I. AMENDMENTS TO THE CLAIMS

Claims 1 to 22. (Canceled)

Claim 23. (Currently Amended) A compound or pharmaceutically acceptable salt thereof, having of the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, and provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

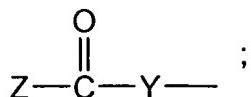
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

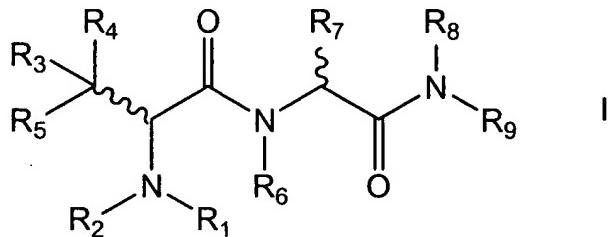
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, and pyrrolyl;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 24. (Withdrawn) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

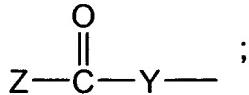
R₅ is selected from the group consisting of: naphthyl, anthracyl, or and pyrrolyl;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

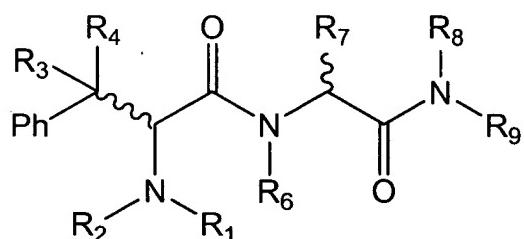
X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂CR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 25. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, and provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl,

and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group or R₁ and R₂ are joined to form a ring;

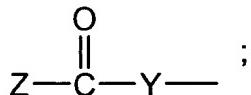
R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl,

quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

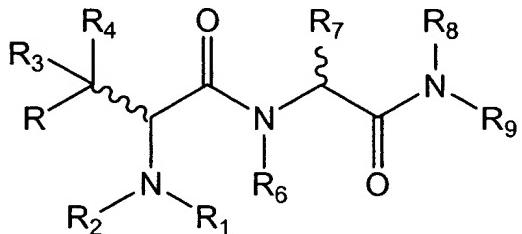
X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SOCR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 26. (Canceled).

Claim 27. (Withdrawn) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, and provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-

aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group or R₁ and R₂ are joined to form a ring;

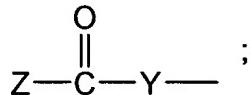
R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolinyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

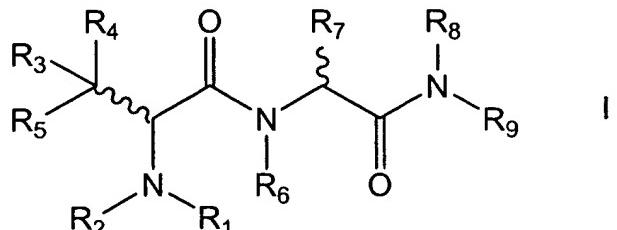
X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SOCR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 28. (Canceled)

Claim 29. (Withdrawn) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

one of R₃ and R₄ is H and the other of R₃ and R₄ is ArR- ;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

U.S. Patent Application No.: 09/581,511

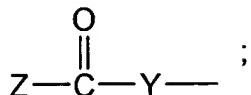
Inventor(s): Andersen et al.

Attorney Docket No.: 108281-00000

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

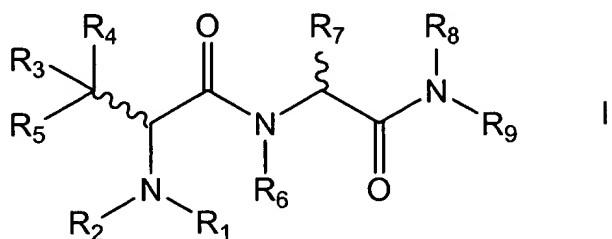
Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having

the formula: R, or $-(CH_2)_nNR_{12}R_{13}$, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 30. (Canceled).

Claim 31. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: methyl, ethyl, n-propyl and n-butyl;

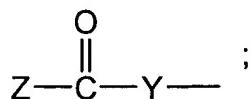
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms

U.S. Patent Application No.: 09/581,511

Inventor(s): Andersen *et al.*

Attorney Docket No.: 108281-00000

are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂CR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

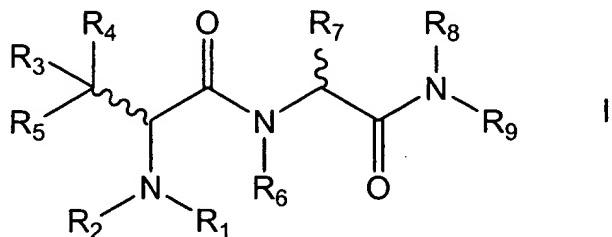
Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 32. (Previously Presented) The compound of claim 31, wherein R₃ and R₄ are each -CH₃.

Claim 33. (Previously Presented) The compound of claim 32, wherein R₅ is Ar.

Claim 34. (Withdrawn) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

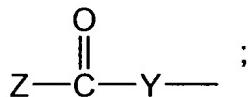
R₃ and R₄ are joined and form a moiety selected from the group consisting of β-cyclopropyl, β-cyclobutyl, β-cyclopentyl and β-cyclohexyl;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-; and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

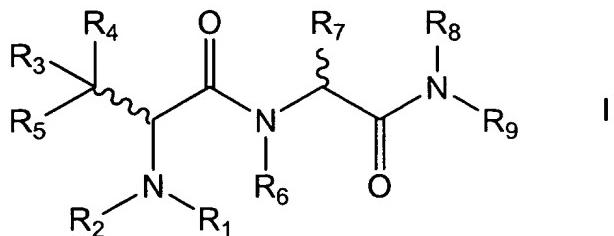
X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SOCR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 35. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of H, methyl, ethyl, propyl, n-butyl and acetyl, provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton

containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

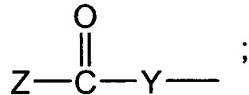
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group, the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

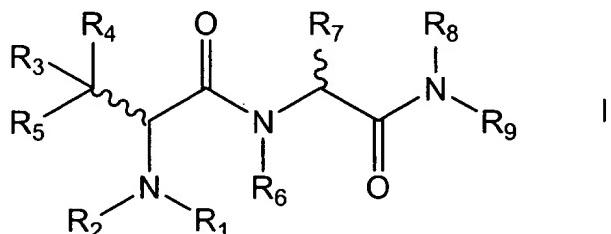
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolinyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SOCR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 36. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are joined and form a moiety selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

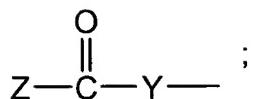
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group, the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

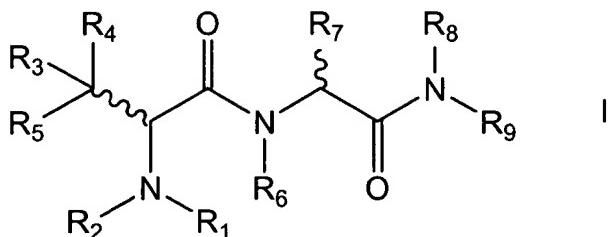
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂CR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 37. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently H, CH₃ or acetyl, provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH,

-COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

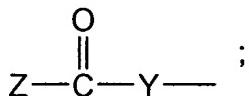
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group, the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

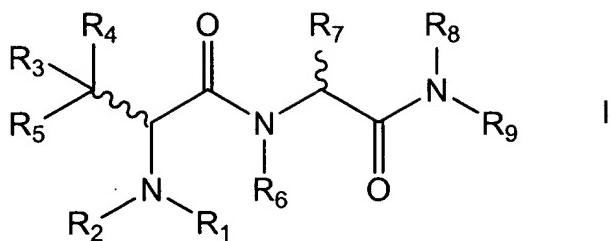
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂CR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 38. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently H or CH₃, provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

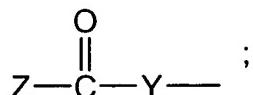
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀,

U.S. Patent Application No.: 09/581,511

Inventor(s): Andersen *et al.*

Attorney Docket No.: 108281-00000

-NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group, the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SOCR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 39. (Previously Presented) The compound of claim 38, wherein R₁ is H, and R₂ is -CH₃.

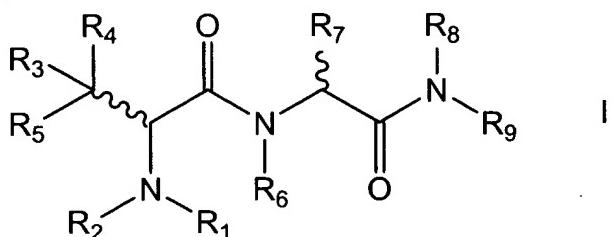
Claim 40. (Previously Presented) The compound of claim 38, wherein R₅ is Ar.

Claim 41. (Previously Presented) The compound of claim 38, wherein R₃ and R₄ are each -CH₃.

Claim 42. (Previously Presented) The compound of claim 41, wherein R₅ is Ar.

Claim 43. (Previously Presented) The compound of claim 42, wherein R₅ is phenyl.

Claim 44. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, and provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

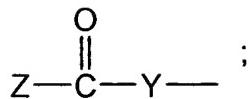
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is H or CH₃;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

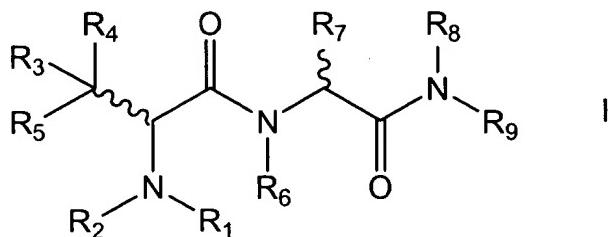
Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 45. (Previously Presented) The compound of claim 42, wherein R₆ is H or CH₃.

Claim 46. (Previously Presented) The compound of claim 45, wherein R₆ is H.

Claim 47. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, and provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

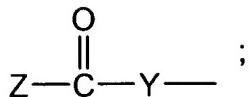
R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ is independently selected from the group consisting of: H, R, and ArR-;

R₈ is H or CH₃;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

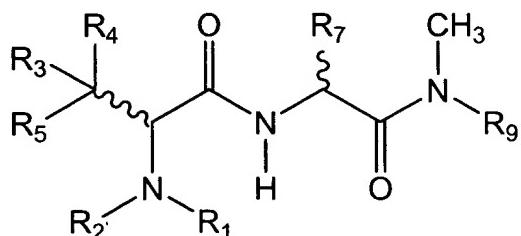
Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 48. (Previously Presented) The compound of claim 42, wherein R₈ is H or CH₃.

Claim 49. (Previously Presented) The compound of claim 45, wherein R₈ is H or CH₃.

Claim 50. (Previously Presented) The compound of claim 49, wherein R₈ is CH₃.

Claim 51. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

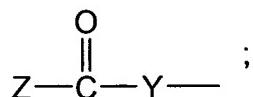
R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₇ is independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H,

U.S. Patent Application No.: 09/581,511

Inventor(s): Andersen et al.

Attorney Docket No.: 108281-00000

-SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

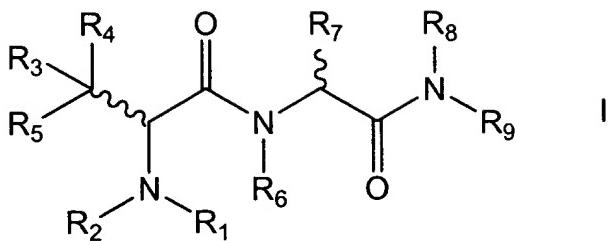
X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SOCR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 52. (Previously Presented) The compound of claim 42, wherein R₆ is H and R₈ is CH₃.

Claim 53. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

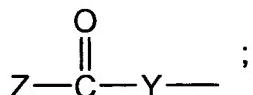
R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ is a three to six carbon atom, branched alkyl group;

R₈ is independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

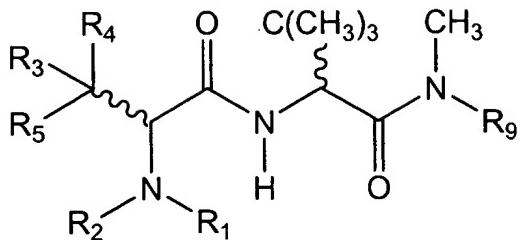
Claim 54. (Previously Presented) The compound of claim 42, wherein R₇ is a three to six carbon atom, branched alkyl group.

Claim 55. (Previously Presented) The compound of claim 45, wherein R₇ is a three to six carbon atom, branched alkyl group.

Claim 56. (Previously Presented) The compound of claim 49, wherein R₇ is a three to six carbon atom, branched alkyl group.

Claim 57. (Previously Presented) The compound of claim 53, wherein R₇ is -C(CH₃)₃.

Claim 58. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

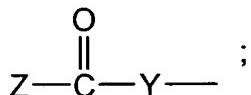
R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

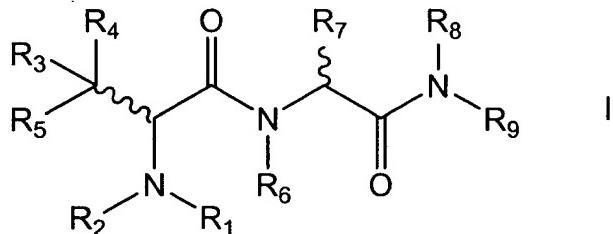
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolinyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂CR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 59. (Withdrawn) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

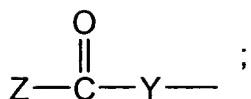
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

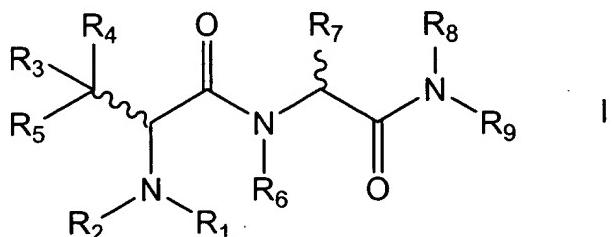
X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is -NHCH(R₁₁)COOH or -NCH₃CH(R₁₁)COOH, wherein R₁₁ is R; or, -(CH₂)_nNHC(NH)(NH₂).

Claim 60. (Withdrawn) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, and provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

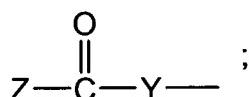
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

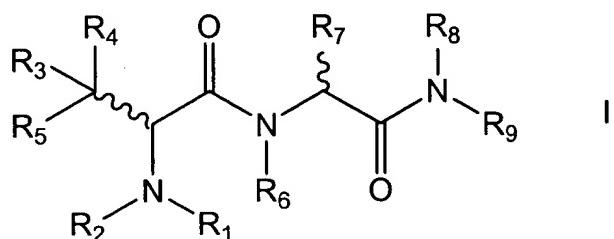
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is -OR₁₄ in which R₁₄ is a linear or branched one to six carbon alkyl group.

Claim 61. (Currently Amended) A compound or pharmaceutically acceptable salt thereof, having of the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-; and

R₉ is Y-COOH;

R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

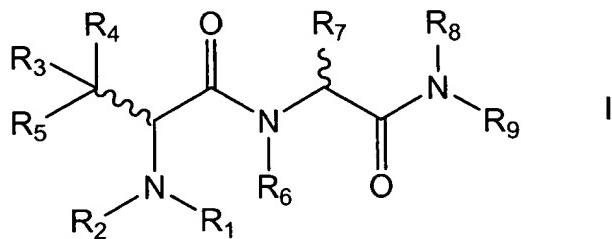
the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂CR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X; and

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl.

Claim 62. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-; and

R₉ is Y-COOCH₃;

R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

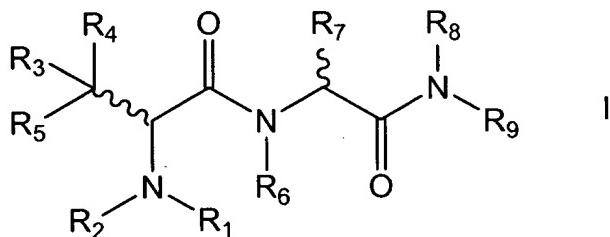
the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SOCR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X; and

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl.

Claim 63. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, and provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂,

-NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group or R₁ and R₂ are joined to form a ring;

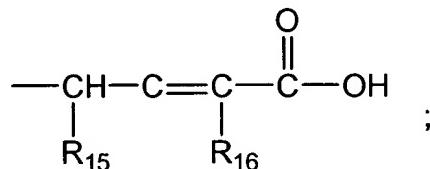
R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-; and

R₉ has the formula:



wherein R₁₅ is selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, tert-butyl, iso-butyl, and sec-butyl; and R₁₆ is selected from the group consisting of H, methyl, ethyl, propyl, iso-propyl, n-butyl, iso-butyl and sec-butyl;

R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

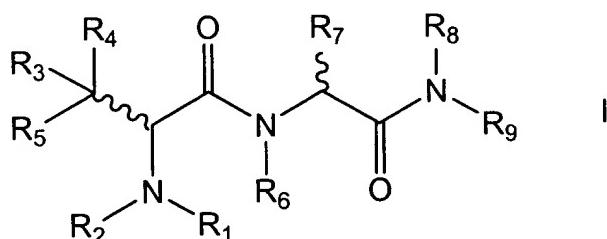
the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl,

quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X; and

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SOCR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R.

Claim 64. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

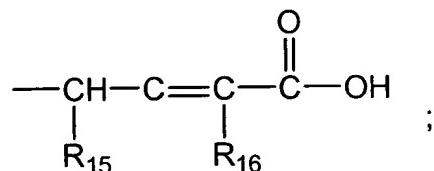
R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-; and

R₉ has the formula:



wherein R₁₅ is selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, tert-butyl, iso-butyl, and sec-butyl; and R₁₆ is methyl;

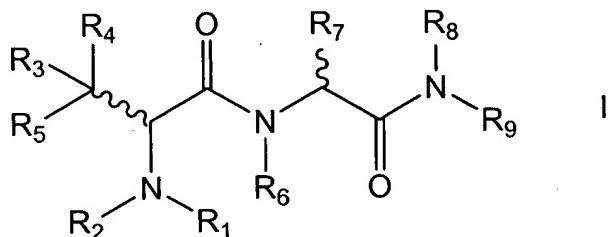
R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂CR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R; and

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolinyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X.

Claim 65. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

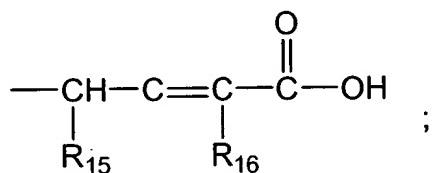
R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-; and

R₉ has the formula:



wherein R₁₅ is isopropyl and R₁₆ is methyl;

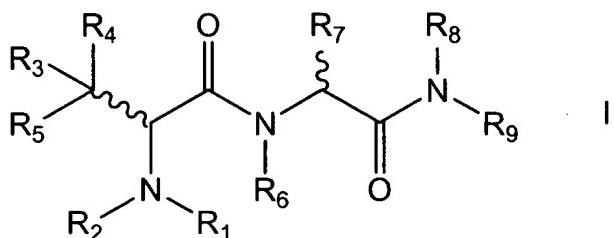
R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R; and

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X.

Claim 66. (Currently Amended) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

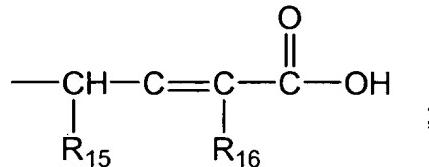
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is H or CH₃;

R₇ is a three to six carbon atom, branched alkyl group;

R₈ is independently selected from the group consisting of: H, R, and ArR-; and

R₉ has the formula:



wherein R₁₅ is selected from the group consisting of: methyl, ethyl, n-propyl, isopropyl, tert-butyl, iso-butyl, and sec-butyl; and R₁₆ is selected from the group consisting of H, methyl, ethyl, propyl, iso-propyl, n-butyl, iso-butyl and sec-butyl

R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀,

-NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

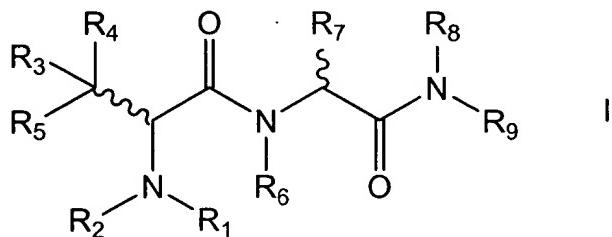
the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SOCR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R; and

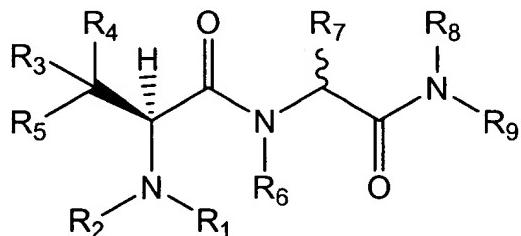
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X.

Claim 67. (Canceled)

Claim 68. (Currently Amended) A compound or pharmaceutically acceptable salt thereof, having the formula:



and having the configuration:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, and provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group or R₁ and R₂ are joined to form a ring;

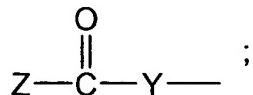
R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-; and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

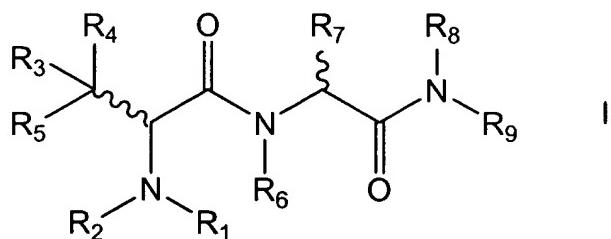
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 69. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, and provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl,

and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group or R₁ and R₂ are joined to form a ring;

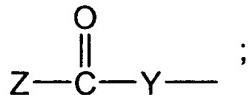
R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-; and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl,

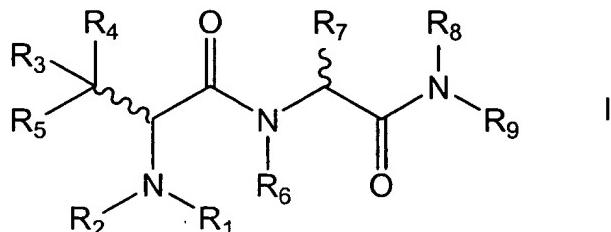
quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

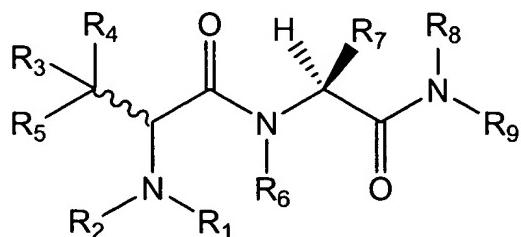
Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl, wherein Y comprises a chiral center of the S-configuration and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

Claim 70. (Currently Amended) A compound or pharmaceutically acceptable salt thereof, having the formula:



and having the configuration:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, and provided that if either one of R₁ and R₂ is H, each of R₃, R₄, R₆ and R₈ are H and R₅ is isopropyl or phenyl, and R₇ is methyl or benzyl, then for whichever of R₁ or R₂ is R or ArR-, the definition of R is limited to a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group or R₁ and R₂ are joined to form a ring;

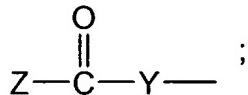
R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-; and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

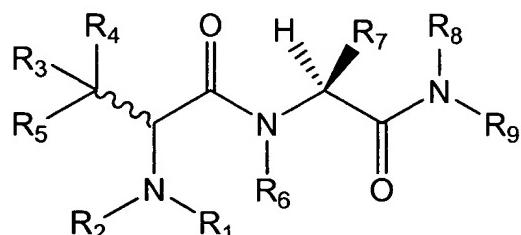
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

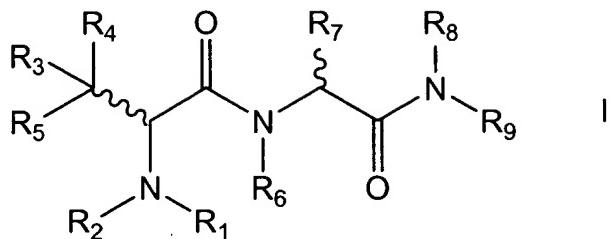
Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof.

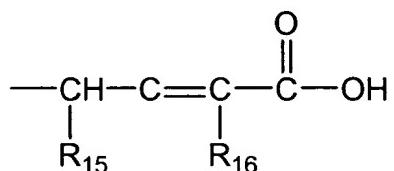
Claim 71. (Previously Presented) A compound or pharmaceutically acceptable salt thereof having the configuration:



and having the formula:



wherein R₅ is Ar; R₃ and R₄ are each CH₃; R₁, R₂, R₆ and R₈ are independently H or CH₃; R₇ is a three to six carbon branched alkyl group; and, R₉ has the formula



wherein R₁₅ is selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, tert-butyl, iso-butyl, and sec-butyl; and R₁₆ is selected from the group consisting of H, methyl, ethyl, propyl, iso-propyl, n-butyl, iso-butyl and sec-butyl;

R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

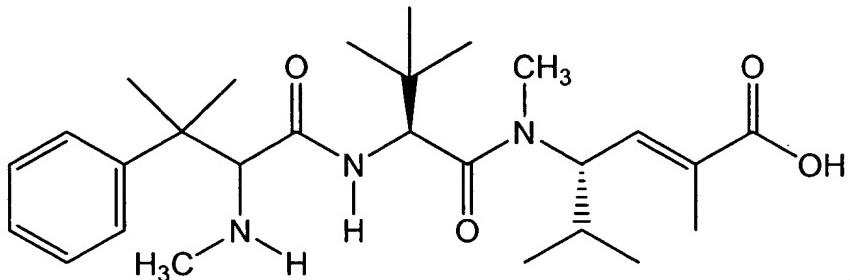
the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

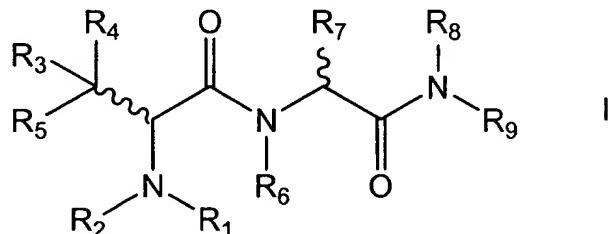
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl,

quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X.

Claim 72. (Previously Presented) A compound or pharmaceutically acceptable salt thereof, having the formula:



Claim 73. (Previously Presented) A pharmaceutical composition comprising a compound or pharmaceutically acceptable salt having the formula having the formula



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, provided that neither R₁ or R₂ is tert-butoxycarbonyl, or R₁ and R₂ are joined to form a ring;

R₃ and R₄ are independently selected from the group consisting of: H, R, and ArR-, or R₃ and R₄ are joined to form a ring;

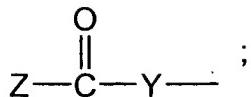
R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂CR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

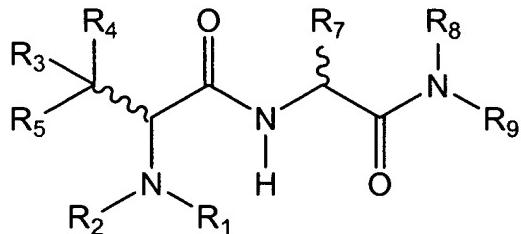
Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with R, ArR-, or X; provided however if R₈ is H, then the optional substituents on Y are limited to R and ArR- wherein R is linear, branched or cyclic alkyl of one to ten carbon atoms and Ar is phenyl, naphthyl, anthracyl, or phenanthryl; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NRCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂), or pharmaceutically acceptable salt thereof; and an acceptable pharmaceutical excipient.

Claim 74. (Withdrawn) A method of treating tumors by arresting cell mitosis in a patient in need of such treatment comprising administering to said patient an anti-mitotic effective amount of at least one compound of claim 22.

Claim 75. (Currently Amended) A compound or pharmaceutically acceptable salt thereof, having of the formula:



wherein:

R₁ and R₂ are independently selected such that neither R₁ or R₂ is tertbutoxy carbonyl (tboc), from the group consisting of: H and a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to one nitrogen atoms, zero to four sulfur atoms and the carbon atoms are optionally substituted with: =S, -OH; -SH, -NH₂, -I, -Br, -Cl, -F, -CN, -CO₂H, -CHO, -CONH₂, -COSH, -NO₂ and -SO₃H;

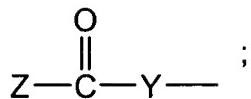
R₃ and R₄ are H or a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic alkyl containing one to ten carbon atoms optionally substituted with: =O, =S, -OH, -SH, -NH₂, -I, -Br, -Cl, -F, -CN, -CO₂H, -CHO, -CONH₂, -COSH, -NO₂, -SO₃H, or R₃ and R₄ are joined to form a ring;

R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

R₇ is ArR- or a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -SH, -NH₂, -I, -Br, -Cl, -F, -CN, -CO₂H, -CHO, -CONH₂, -COSH, -NO₂;

R₈ is selected from the group consisting of: H and a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms optionally substituted with -OH; and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂R₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

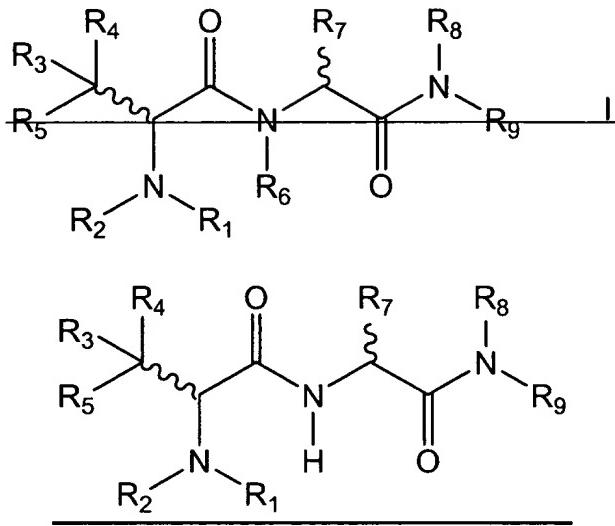
X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with phenyl, naphthyl, anthracyl, phenanthryl or a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing consisting of one to ten carbon atoms optionally substituted with: =S, -OH; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; or pharmaceutically acceptable salt thereof.

Claim 76. (Currently Amended) A compound or pharmaceutically acceptable salt, having the formula:



wherein:

R₁ and R₂ are independently selected from the group consisting of: H, methyl, ethyl, propyl and n-butyl;

R₃ and R₄ are independently selected from the group consisting of H, methyl, ethyl, n-propyl and n-butyl, or R₃ and R₄ are joined to form a three to seven member non-aromatic ring;

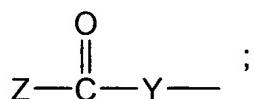
R₅ is selected from the group consisting of: R, ArR-, and Ar;

R₇ is ArR- or a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, -OH, -SH, -NH₂, -I, -Br, -Cl, -F, -CN, -CO₂H, -CHO;

R₈ is selected from the group consisting of: H and CH₃;

and

R₉ is:



R is defined as a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SOCR₁₀,

-NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group,

the ring formed by joining R₁ and R₂ or by joining R₃ and R₄ is a three to seven member non-aromatic cyclic skeleton within the definition of R,

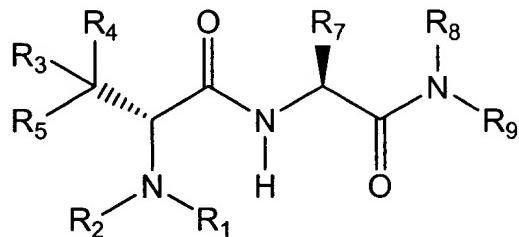
X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂R, -NH₂, -NHR, -N(R)₂, -NHCOR, -NRCOR, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

Ar is an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolyl, imidazolyl, thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

Y is a linear, unsaturated, two to six carbon alkyl group, optionally substituted with phenyl, naphthyl, anthracyl, phenanthryl or a saturated or unsaturated moiety having a linear, branched, or non-aromatic cyclic skeleton containing one to ten carbon atoms optionally substituted with: =S, -OH; and

Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; or pharmaceutically acceptable salt thereof.

Claim 77. (Currently Amended) The compound of claim 75, having of the configuration:



U.S. Patent Application No.: 09/581,511

Inventor(s): Andersen *et al.*

Attorney Docket No.: 108281-00000

Claim 78. (Currently Amended) The compound of claim 75, having of the configuration:

